AMENDMENTS TO THE SPECIFICATION

Docket No.: 05129-00072-US

Please replace the paragraph beginning at page 9, line 11 with the following amended paragraph:

In a particular aspect, the method according to the invention also comprises the production of the compound of general formula (II) by peptide coupling of a fragment of general formula

$$XCH_2$$
- $C(=O)$ - HN - $B(VI)$

in which X denotes a group which can be substituted by nucleophilic substitution, as defined above, chosen in particular from Cl and Br, and B denotes an amino acid or a peptide chain optionally bearing protective and/or activating groups, with a fragment C fragment F also denoting an amino acid or a peptide chain optionally bearing protective and/or activating groups.

Please replace the paragraph beginning at page 9, line 26 with the following amended paragraph:

Fragment C Fragment F can be an amino acid or a peptide chain preferably comprising 2, 3, 4 or 5 amino acids. The amino acids are chosen in particular from glycine and the amino acids mentioned above.

Please replace the paragraph beginning at page 9, line 29 with the following amended paragraph:

Fragments B and C Fragments B and F can bear protective and/or activating groups which are known in themselves, such as in particular a benzyloxycarbonyl group, a tert-butoxycarbonyl group or a silyl group. Fragments B and C Fragments B and F can be coupled by known methods, such as, for example, a reaction of fragments of general formula (VI) and C general formula (VI) and F, suitably protected in the presence of dicyclohexylcarbodiimide, optionally also in the presence of hydroxysuccinimide or of hydroxybenzotriazole.

Please replace the paragraph beginning at page 10, line 6 with the following amended paragraph:

In fragment C fragment F, the C-terminus is preferably a group -COOZ, the group Z of which can be substituted with a group Y as defined above, under conditions which leave the peptide bond intact and do not produce racemization. Examples of groups Z which can be used are silyl, in particular trialkylsilyl, groups. A trimethylsilyl group is particularly preferred as substituent Z.

Please replace the paragraph beginning at page 10, line 11 with the following amended paragraph:

In a particularly preferred variant, fragment C fragment F is persilylated, i.e. at least all the groups -NH₂ and COOH of the amino acid or of the peptide bear a silyl substituent, preferably a trialkylsilyl substituent (-NHSiR₃; COOSiR₃). Trimethylsilyl groups are particularly preferred as silyl substituent. The persilylation of an amino acid or of a peptide can be carried out, for example, according to the method described in Patent Application EP-A-184243 in the Applicant's name.

Please replace the paragraph beginning at page 10, line 18 with the following amended paragraph:

In a most particularly preferred variant, fragment C fragment F is a persilylated amino acid. This fragment can be coupled with a fragment of general formula (VI) comprising a carboxyl group, which is preferably activated, for example by formation of acid chloride or anhydride.

Please replace the paragraph beginning at page 10, line 22 with the following amended paragraph:

The performing of successive peptide couplings of a fragment of general formula (VI), in which B denotes an amino acid as described above, with various fragments C fragments F which are persilylated, in particular pertrimethylsilylated, amino acids, is even more particularly preferred. This variant is particularly suitable for synthesizing compounds of general formula

(III) in which A is a peptide chain consisting of a number greater than or equal to 2, 3, 4, 5, 6, 7 or 8 amino acids. This variant is particularly suitable for synthesizing compounds of general formula (II) in which A is a peptide chain consisting of a number less than or equal to 20, 15 or 10 amino acids. It has been found that the compounds of general formula (II) mentioned above in particular can be obtained economically on a preparative scale of hundreds of grams, or even of kilograms, with a high yield, with this variant, without substantial racemization.

Please replace the paragraph beginning at page 10, line 34 and continuing to page 11 with the following amended paragraph:

The method according to the invention is particularly suitable for preparing N-Glyterminal tetra-, penta-, hexa-, hepta- and octapeptides, such as in particular the sequences mentioned above, and more particularly Gly-Phe-Leu-Gly, by a sequence of reactions according to which

- (a) the synthesis of a compound of general formula (II) is carried out by successive peptide couplings of a fragment of general formula (VI) in which B denotes an amino acid as described above, in particular Phe, with various fragments C fragments F which are persilylated, in particular pertrimethylsilylated, amino acids;
- (b) the compound of general formula (II) is subjected, in accordance with the method according to the invention as described above, to a reaction with a compound of general formula (III).